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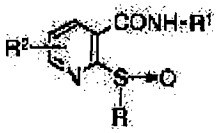
(54) 2-SULFINYLNICOTINAMIDE DERIVATIVE, ITS INTERMEDIATE AND CURING AGENT FOR PEPTIC ULCER USING THE DERIVATIVE AS ACTIVE COMPONENT

(57)Abstract:

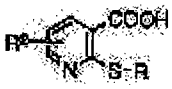
PURPOSE: To obtain a new 2-sulfinylnicotinamide derivative having excellent suppressing activity against the secretion of acid in the stomach and useful as a curing agent for peptic ulcer.

CONSTITUTION: This is a compound of formula I [R1 is a (4-substituted) phenyl, naphthyl, a (substituted) pyridyl or a (substituted) quinolyl, pyrimidinyl, pyrazinyl, thiazolyl, etc.; R2 is H, a halogen, a lower alkyl, a lower alkoxy or a (substituted) phenyl; R is formula II (R3 is H or a lower alkyl; R4 is H, a lower alkyl or a (substituted) phenyl; R5 is a (substituted) aryl or a (substituted) heteroaryl)], e.g. 2-[(2,4-dimethoxybenzyl) sulfinyl]-N-(4-pyridyl) nicotinamide. The compound is obtained by oxidizing a compound of formula IV which is a new intermediate obtained by reacting a compound of formula III or its reactive derivative with a compound of the formula H2NR1. It is understood that the compound of formula I is taken into secretion tubules of gastric parietal cells and subsequently converted into a compound of formula V, and it exhibits inhibitory activity against proton pump through the compound.



I

II



III

IV

V

IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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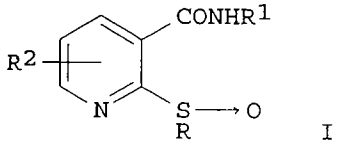

I

AB Compds. of formula I [R1 = mono- or di-substituted amino etc. 4-substituted phenyl; hydroxy low alkyl, low alkanoyloxy low alkyl etc.-substituted pyridyl etc.; R2 = H, low-grade alkyl, etc.; R = CR3R4R5 (R3 = H, etc.; R4 = H, low alkyl, etc.; R5 = unsubstituted or substituted alkyl, etc.)] can be prepd. for use in treatment of digestive system disorders such as ulcers. Thus, 2-[(2,4-dimethoxybenzyl)sulfinyl]-N-(4-pyridyl)nicotinamide is produced by reacting 2-[(2,4-dimethoxybenzyl)thio]-N-(4-pyridyl)nicotinamide 6.4 g in methylene chloride 200 mL at 0.degree.C with 3-chloroperbenzoic acid 4.1 g in methylene chloride 50 mL, extn. and purifn. by silica gel chromatog. to yield 4.2 g of product. I inhibit H+/K+ ATPase and inhibit acid secretion by the stomach.

IT **181822-65-1P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-sulfinylnicotinamide derivs. and their intermediates as active components in drugs for treatment of digestive system ulcers)

RN 181822-65-1 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(diphenylmethyl)sulfinyl]-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)